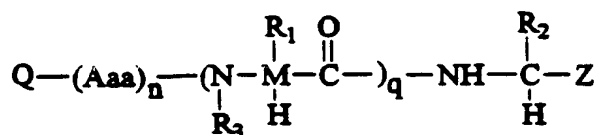


What is claimed is:

1. A compound having the Formula I:



I

5 wherein:

Q has the formula  $\text{G}-\text{B}-(\text{CHR}^4)_v$ , where  $\text{R}^4$  is independently H or alkyl having from 1 to 4 carbons;

v is 0, 1, or 2;

B is selected from the group consisting of  $\text{C}(=\text{O})$ ,  
 10  $\text{OC}(=\text{O})$ ,  $\text{S}(=\text{O})_m$ ,  $\text{CH}_2$ , a bond,  $\text{NR}^5\text{C}(=\text{O})$ ,  $\text{S}(=\text{O})_m-\text{A}-\text{C}(=\text{O})$ , and  
 $\text{C}(=\text{O})-\text{A}-\text{C}(=\text{O})$ , where  $\text{R}^5$  is H or lower alkyl;

m is 0, 1, or 2;

A is lower alkylene or cycloalkylene,  
 optionally substituted with one or more halogen atoms,  
 15 aryl, or heteroaryl groups;

M is a carbon atom;

G is selected from the group consisting of H, a  
 blocking group, lower alkyl, lower alkenyl, aryl having  
 from about 6 to about 14 carbons, heterocyclyl having from  
 20 about 5 to about 14 ring atoms, heterocycloalkyl having  
 from about 5 to about 14 ring atoms, arylalkyl having from  
 about 7 to about 15 carbons, heteroarylalkyl, and  
 arylheteroalkyl wherein the aryl portion can be unfused or  
 fused with the heteroalkyl ring, said alkyl, aryl,  
 25 heterocyclyl, heterocycloalkyl, arylalkyl, heteroarylalkyl,  
 and arylheteroalkyl groups being optionally substituted  
 with one or more J groups;

J is selected from the group consisting of halogen,  
 CN, nitro, lower alkyl, cycloalkyl, heterocycloalkyl,  
 30 heteroalkyl, halogenated alkyl, aryloxyalkyl, alkylthio,  
 alkylsulfonyl, aryl, heteroaryl, arylalkyl, arylalkyloxy,

arylsulfonyl, heteroarylsulfonyl, alkoxycarbonyl, alkoxyalkyl, acyl, alkoxy, hydroxy, carboxy, hydroxyalkyl, amino, alkylamino, and aminoalkyl, said amino group or said amino group of said aminoalkyl or alkylamino group being  
5 optionally substituted with an acyl group, an alkoxy group, or with 1 to 3 aryl, lower alkyl, cycloalkyl, or alkoxyalkyl groups; and said aryl, heteroaryl, heterocycloalkyl, and heteroalkyl groups being further optionally substituted by a J group;

10 each Aaa is independently an amino acid which optionally contains one or more blocking groups;

n is 0, 1, 2, or 3;

R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of H, alkyl having from one to about 6 carbons,  
15 arylalkyl having from about 7 to about 15 carbons, heteroalkyl in which the ring contains from about 5 to about 14 ring atoms, heteroarylalkyl in which the heteroaryl ring contains from about 5 to about 14 ring atoms, alkoxyalkyl, a side chain of a naturally occurring  
20 amino acid in the R or S configuration, and (CH<sub>2</sub>)<sub>p</sub>NH-L, said alkyl, arylalkyl, heteroalkyl, heteroarylalkyl, and alkoxyalkyl groups being optionally substituted with one or more J groups;

p is 0, 1, 2, or 3;

25 L is selected from the group consisting of alkoxycarbonyl having from 2 to about 7 carbons, arylalkoxycarbonyl in which the arylalkoxy group contains about 7 to about 15 carbons, and S(=O)<sub>2</sub>R<sup>6</sup>;

R<sup>6</sup> is selected from the group consisting of  
30 lower alkyl, and aryl having from about 6 to about 14 carbons;

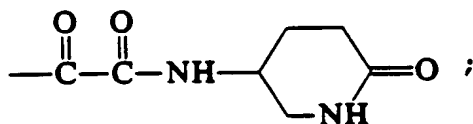
R<sup>3</sup> is selected from the group consisting of H, alkyl having from one to about 6 carbons, arylalkyl having from about 7 to about 15 carbons, heteroalkyl in which the ring  
35 contains from about 5 to about 14 ring atoms,

heteroarylalkyl in which the heteroaryl ring contains from about 5 to about 14 ring atoms, alkoxyalkyl, a side chain of a naturally occurring amino acid in the R or S configuration,  $(CH_2)_pNH-L$ ,  $C(=O)R^7$ ,  $S(=O)_2R^7$ , a blocking group, and when combined with the carbon atom to which  $R^7$  is attached an alkylene group having from 2 to 5 carbons, said alkylene group being optionally substituted with a group selected from the group consisting of aryl, azide, CN, a protected amino group, and  $OSO_2$ -aryl, said alkyl, arylalkyl, heteroalkyl, heteroarylalkyl, and alkoxyalkyl groups being optionally substituted with one or more J groups;

$R^7$  is selected from the group consisting of aryl having from about 6 to about 14 carbons, heteroaryl having from about 5 to about 14 ring atoms, arylalkyl having from about 7 to about 15 carbons, alkyl having from 1 to about 10 carbons, said aryl, heteroaryl, arylalkyl and alkyl groups being optionally substituted with one or more J groups, heteroalkyl having from 2 to about 7 carbons, alkoxy having from about 1 to about 10 carbons, and amino optionally substituted with 1 or more alkyl groups;

q is 0 or 1;

Z is selected from the group consisting of  $C(=O)C(=O)NH-X-A^1-K$  and



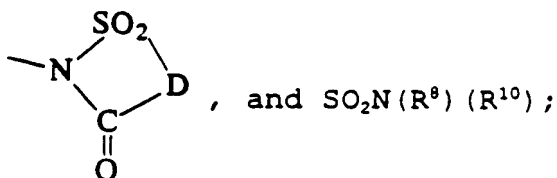
25

X is a bond or  $-O-$ ;

$A^1$  is the same as A;

K is selected from the group consisting of

$N(R^{10})Y$ ,



D is a fused aryl or heteroaryl group;

$\text{R}^{11}$  is selected from the group consisting of alkoxy, aryloxy, and  $\text{NHR}^{12}$ ;

5  $\text{R}^{12}$  is selected from the group consisting of H, alkyl, aryl, and heteroaryl, said alkyl, aryl or heteroaryl groups being optionally substituted with one or more J groups;

Y is selected from the group consisting of  $\text{SO}_2\text{R}^3$ ,  
 10  $\text{C}(=\text{O})\text{NHR}^3$ ,  $\text{C}(=\text{S})\text{NHR}^3$ ,  $\text{C}(=\text{NCN})\text{R}^{11}$ ,  $\text{C}(=\text{NC}(=\text{O})\text{NHR}^{10})\text{R}^{11}$ , and  $\text{CO}_2\text{R}^8$ ;

$\text{R}^8$  is selected from the group consisting of alkyl, alkoxy, aryl, and heterocyclyl, said alkyl, alkoxy, aryl, or heterocyclyl groups being optionally substituted  
 15 with one or more J groups;

$\text{R}^9$  is selected from the group consisting of H, alkyl, aryl, and heteroaryl, said alkyl, aryl, or heteroaryl groups being optionally substituted with one or more J groups;

20 or an  $\text{R}^9$  alkyl group may be combined with an  $\text{A}^1$  alkylene group to form a N-containing heterocyclic 5- or 6-membered ring;

$\text{R}^{10}$  is selected from the group consisting of H and lower alkyl;

25 or in the moiety  $\text{SO}_2\text{N}(\text{R}^9)\text{R}^{10}$ ,  $\text{R}^9$  and  $\text{R}^{10}$  may be combined together with the N atom to which they are attached to form a N-containing heterocyclic 5- or 6-membered ring;

or where  $\text{A}^1$  is an alkylene group, and K is  $\text{N}(\text{R}^{10})\text{Y}$   
 30 wherein  $\text{R}^{10}$  is alkyl, said  $\text{R}^{10}$  alkyl group may be combined with said  $\text{A}^1$  alkylene group to form a N-containing heterocyclic 5- or 6- membered ring;

or a pharmaceutically acceptable salt thereof.

2. The compound of claim 1 wherein n and v are each 0, q is 1, B is a bond, and G is H.

3. The compound of claim 1 wherein R<sup>1</sup> is the  
5 sidechain of a naturally occurring amino acid.

4. The compound of claim 1 wherein R<sup>3</sup> is  
-S(=O)<sub>2</sub>R<sup>7</sup>.

5. The compound of claim 1 wherein R<sup>2</sup> is benzyl or  
alkoxyalkyl.

10 6. The compound of claim 1 wherein X is a bond, and  
Y is SO<sub>2</sub>R<sup>8</sup>.

7. The compound of claim 1 wherein A<sup>1</sup> is  
-CH<sub>2</sub>-CH<sub>2</sub>-, -CH<sub>2</sub>-CH(CH<sub>3</sub>)-, or -(CH<sub>3</sub>)CH-CH<sub>2</sub>-.

8. The compound of claim 1 wherein R<sup>1</sup> is a serine  
15 sidechain, which is optionally capped with a benzyl group.

9. The compound of claim 8 wherein M is a carbon  
atom in the D configuration.

10. The compound of claim 1 wherein R<sup>2</sup> is benzyl, R<sup>7</sup>  
20 is methyl, and R<sup>8</sup> is substituted phenyl, unsubstituted  
phenyl, substituted heteroaryl, or unsubstituted  
heteroaryl.

11. The compound of claim 1 wherein R<sup>8</sup> is aryl, aryl  
substituted with amino, aryl substituted with  
25 heterocyclomethyl, heteroaryl, alkyl substituted with  
heteroaryl, or heteroaryl substituted with alkylthio,

haloalkyl, alkyl, phenylsulfonyl, halogen, aminophenyl, amino, or dialkylaminoalkyl.

12. The compound of claim 1 wherein n and v are each 0, q is 1, R<sup>1</sup> is the side chain of an amino acid in the D- or L-configuration, R<sup>3</sup> is S(=O)<sub>2</sub>R<sup>7</sup>, G is H, B is a bond, R<sup>2</sup> is benzyl or alkoxyalkyl, X is a bond, and Y is SO<sub>2</sub>R<sup>8</sup>.

13. The compound of claim 1 wherein A<sup>1</sup> is CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>CH(CH<sub>3</sub>), or (CH<sub>3</sub>)CHCH<sub>2</sub>.

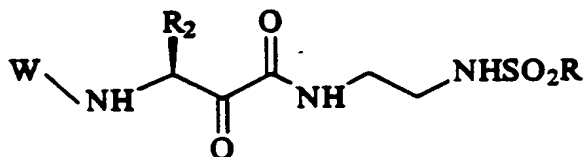
10 14. The compound of claim 1 wherein R<sup>1</sup> is a serine side chain in the D-configuration in which the hydroxyl group is capped with benzyl, R<sup>2</sup> is benzyl, R<sup>7</sup> is methyl, and R<sup>8</sup> is substituted or unsubstituted phenyl or substituted or unsubstituted heteroaryl.

15

15. The compound of claim 1 wherein R<sub>1</sub>-R<sub>4</sub>, B, G, Aaa, X, A<sup>1</sup>, Y, n, q and v are selected in accordance with Tables 2 and 3.

16. The compound of claim 1 wherein R<sub>1</sub>-R<sub>4</sub>, B, G, Aaa, X, A<sup>1</sup>, Y, n, q and v are each independently selected from the group of substituents shown in Tables 2 and 3.

17. The compound of claim 1 having the Formula:

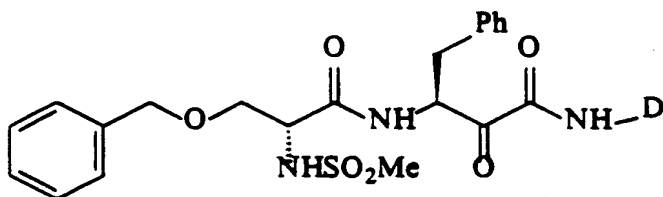


wherein:

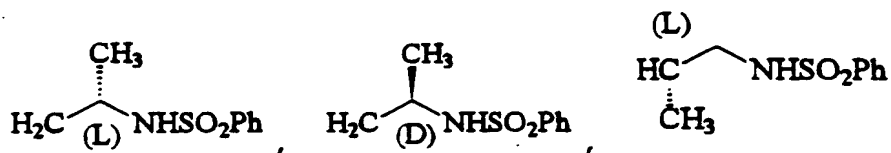
25 W, R<sub>2</sub> and R are independently selected from the group of substituents shown in Table 2.

18. The compound of claim 17 wherein W, R<sub>2</sub> and R are selected in accordance with Table 2.

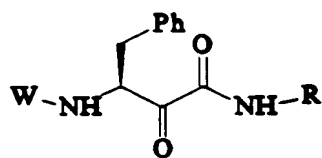
19. The compound of claim 1 having the Formula:



5 wherein D is CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)SO<sub>2</sub>Ph or has one of the formulas:



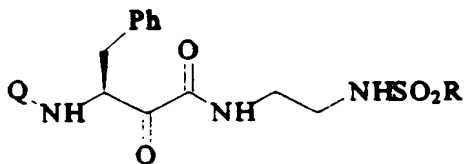
20. The compound of claim 1 having the formula:



wherein W and R are independently selected from the  
10 group of substituents shown in Table 4.

21. The compound of claim 20 wherein W and R are selected in accordance with Table 4.

22. The compound of claim 1 having the Formula:



wherein Q and R are independently selected from the group of substituents shown in Table 5.

23. The compound of claim 22 wherein Q and R are selected in accordance with Table 5.

5        24. The compound of claim 1 wherein n, v and q are each 0; B is (C=O); and G is phenyl or lower alkyl, said phenyl or lower alkyl groups being optionally substituted with one or more J groups.

25. A composition for inhibiting a serine protease or  
10 a cysteine protease comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

26. A method for inhibiting a serine protease or a cysteine protease comprising contacting a protease selected from the group consisting of serine proteases and cysteine  
15 proteases with an inhibitory amount of a compound of claim 1.